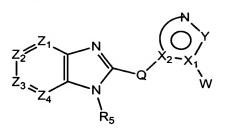
1. A compound of the formula:



pal

or a pharmaceutically acceptable salt thereof, wherein:

 Z_1 is nitrogen or $CR_{\underline{\lambda}}$;

Z₂ is nitrogen or CR₂

Z₃ is nitrogen or CR₃;

Z₄ is nitrogen or CR₄;

provided that no more than two of Z_1 , Z_2 , Z_3 , and Z_4 are nitrogen;

 R_1 , R_2 , R_3 , and R_4 are independently selected from

- i) hydrogen, halogen, hydroxy, nitro, cyano, amino, haloalkyl, and haloalkoxy,
- ii) alkyl, alkoxy, cycloalkyl, alkenyl, alkynyl, (cycloalkyl) alkyl, -NH (R_{10}) , -N (R_{10}) (R_{11}) , hydroxyalkyl, aminoalkyl, (R_{10}) NHalkyl, (R_{10}) (R_{11}) Nalkyl-, alkanoyl, alkoxycarbonyl, (heterocycloalkyl)alkyl, alkylsulfonyl, alkylthio, mono- or dialkylaminocarbonyl, heterocycloalkyl, heteroaryl, each of which aryl, and is optionally substituted with 1, 2, 3, or 4 of R_{20} ,

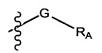
wherein R_{10} and R_{11} are independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, (cycloalkyl)alkyl, aryl, arylalkyl, alkanoyl, and mono and dialkylaminoalkyl;

25

20

iii) a group of the formula:

and



where G is a bond, alkyl, -O-, -C(=0)-, or ${}_{\bullet}CH_2C(=0)$ -, and

25

30

 R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R_{20} ,

iv) a group of the formula

where J is N, CH, or C-alkyl, and

R_B and R_C are independently selected from the group consisting of
 hydrogen, alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl,
 (cycloalkyl)alkyl, heterocycloalkyl, aryl, arylalkyl,
 alkanoyl, heteroaryl, and mono and dialkylaminoalkyl,
 each of which is optionally substituted by 1 or 2
 substituents independently chosen from halogen, hydroxy,
 cyano, amino, nitro, alkoxy, and alkyl;

 R_{B} and R_{C} and the atom to which they are attached form a 4- to 10-membered monocyclic or bicyclic ring, which may contain:

- a) one or more double bonds,
- b) one or more of oxo, O, S, SO, SO₂, or $N-R_D$ wherein R_D is hydrogen, Ar_1 , alkyl, cycloalkyl, heterocycloalkyl, or Ar_1 alkyl; wherein Ar_1 is anyl or heteroaryl, each of which is optionally substituted by 1 or 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, alkoxy, and alkyl, and/or
- c) one or more substituents R₂₀;

and

 R_E and R_F are independently selected at each occurrence from alkyl, cycloalkyl, heterocycloalkyl, alkoxy, monoor dialkylamino, aryl, or heteroaryl each of which is optionally substituted by 1, 2, or 3 of R_{30} ;

- R₂₀ is independently selected at each occurrence from the group consisting of: halogen; hydroxy; nitro; cyano; amino; alkyl; alkoxy optionally substituted with amino or mono- or dialkylamino; cycloalkyl; cycloalkylalkyl; cycloalkylalkoxy; alkenyl; alkynyl; haloalkyl; oxo; haloalkoxy; mono- and dialkylamino; aminoalkyl; and mono- and dialkylaminoalkyl;
- R₃₀ is independently selected at each occurrence from halogen, hydroxy, nitro, cyano, amino, alkyl, alkoxy optionally substituted with amino or mono- or dialkylamino, cycloalkyl, cycloalkylalkyl, cycloalkylalkoxy, heterocycloalkyl, alkenyl, alkynyl, haloalkyl, haloalkoxy, oxo, mono- and dialkylamino, aminoalkyl, and mono- and dialkylaminoalkyl;

R₅ represents hydrogen or haloalkyl ∕ or

- R_5 represents alkyl, cycloalkyl, or (cycloalkyl)alkyl, each of which may contain one or more double or triple bonds, and each of which is optionally substituted with 1, 2, or 3 of R_{30} , or
- 25 each of which is optionally substituted with 1, 2, or 3
 substituents selected from the group consisting of
 haloalkyl, amino, -NH(R₁₀), -N(R₁₀)(R₁₁), carboxamido,
 (R₁₀)NHcarbonyl, (R₁₀)(R₁₁)Ncarbonyl, halogen, hydroxy, nitro,
 cyano, amino, alkyl, alkoxy optionally substituted with
 30 amino or mono- or dialkylamino, cycloalkyl, cycloalkylalkyl,
 cycloalkylalkoxy, heterocycloalkyl, alkenyl, alkynyl,
 haloalkyl, haloalkoxy, aminoalkyl, and mono- and
 dialkylaminoalkyl;

Q represents $-C(R_6)(R_7)$ or oxygen,

with the proviso that Q is not oxygen when X_2 is nitrogen; R_6 and R_7 independently represent hydrogen, fluorine, or alkyl; the group:

nd ()

represents a 5 to 7 membered heteroaryl or heterocycloalkyl ring containing up to 4 heteroatoms independently selected from nitrogen, sulfur, and oxygen, said 5 to 7 membered heteroaryl or heterocycloalkyl ring is substituted at each carbon atom by R, and substituted at each nitrogen atom available for substitution by R', wherein

- R is independently chosen at each occurrence from hydrogen, halogen, amino, alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, (cycloalkyl)alkyl, haloalkyl, haloalkoxy, carboxamido, and 3- to 7-membered carbocyclic or heterocyclic groups which are saturated, unsaturated, or aromatic, which may be further substituted with one or more substituents independently selected from halogen, oxo, hydroxy, alkyl, and alkoxy;
- R' is independently chosen at each occurrence from alkyl, hydrogen, cycloalkyl, cycloalkyl(alkyl), and 3- to 7-membered carbocyclic or heterocyclic groups which are saturated, unsaturated, or aromatic, which 3- to 7-membered carbocyclic or heterocyclic groups are optionally substituted with one or more substituents independently selected from halogen, oxo, hydroxy, alkyl, and alkoxy;

X₁ and X₂ independently represent nitrogen, carbon or CH;
Y is nitrogen, oxygen, carbon, -CH-, -CH₂-, or absent; and
30 W represents aryl or heteroaryl, wherein the aryl or heteroaryl group is optionally substituted with up to 4 groups

independently selected from R_{30} , $-CO_2H$, $-C(=O)OR_E$, $-C(=O)NHR_E$, $-C(=O)NR_ER_F$, $-C(O)R_E$, and $-S(O)_mR_E$, $-OR_E$, where R_{30} and R_E are as defined above and m is 0, 1, or 2.

cont R.

10

2. A compound or salt according to Claim 1, wherein R_2 , R_3 , and R_4 are independently selected from

- i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo (C_1-C_6) alkyl, and halo (C_1-C_6) alkoxy,
- ii) (C₁-C₆) alkyl (C₁-C₆) alkoxy, (C₃-C₈) cycloalkyl, (C₂-C₆) alkenyl, alkynyl, ((C₃-C₈) cycloalkyl) (C₁-C₄) alkyl, NH(R₁₀), -N(R₁₀) (R₁₁), hydroxy(C₁-C₆) alkyl, amino(C₁-C₆) alkyl,
 (R₁₀) NH (C₁-C₆) alkyl, (R₁₀) (R₁₁) N(C₁-C₆) alkyl, (C₁-C₆) alkanoyl,
 (C₁-C₆) alkoxycarbonyl, (C₁-C₆) alkylsulfonyl, (C₁-C₆) alkylthio,
 mono- or di(C₁-C₆) alkylaminocarbonyl, heterocycloalkyl,
 (heterocycloalkyl)C₁-C₄alkyl, aryl, and heteroaryl, each of
 which is optionally substituted with 1, 2, 3, or 4 of R₂₀,
 wherein R₁₀ and R₁₁ are independently selected from the group
 consisting of (C₁-C₆) alkyl, (C₂-C₆) alkenyl, (C₁C₆) alkoxy, (C₃-C₈) cycloalkyl, (C₃-C₈) cycloalkylalkyl,
 aryl, aryl(C₁-C₆) alkyl, (C₁-C₆) alkanoyl, and mono and
 di(C₁-C₆) alkylaminoalkyl;

iii) a group of the formula:

S G RA

where G is (C₁-C₆)alkyl, -O-, -C(=O)-, or -CH₂C(=O)-, and

25 R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring consisting of from 3 to 8 ring atoms, and each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O; said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R₂₀, and

iv) a group of the formula

三· 20

5

R_B

where J is N, CH, or C-(C₁-C₆)alkyl and

are independently selected from the group consisting of R_B and R_C hydrogen, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, C_6) alkoxy, (C_3-C_8) cycloalkyl, $(C_3-C_8$ cycloalkyl) (C_1-C_4) alkyl, heterocycloalkyl, aryl, aryl(C_1-C_4) alkyl, (C_1-C_6) alkanoyl, heteroaryl, and mono and $di(C_1-C_6)$ alkylamino (C_1-C_6) alkyl, which is optionally substituted by 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, C₁-C₆alkoxy, and C₁-C₆alkyl; or R_B and R_C and the area to which they are attached form a 4to 10-membered monocyclic or bicyclic ring, which may contain

- a) one or more double bonds;
- b) one or more of oxo, O, S, SO, SO₂, and N-R_D wherein R_D is hydrogen, Ar₁, (C_1-C_6) alkyl, (C_3-C_8) cycloalkyl, heterocycloalkyl, or Ar₁ (C_1-C_6) alkyl; wherein Ar₁ is aryl or heteroaryl, each of which is optionally substituted by 1 or 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, C₁-C₆alkoxy, and C₁-C₆alkyl; and/or
- c) one or more substituents R₂₀;

 R_E and R_F are independently selected at each occurrence from (C_1-C_6) alkyl, (C_3-C_8) cycloalkyl, heterocycloalkyl, (C_1-C_6) alkoxy, mono- and di (C_1-C_6) alkylamino, aryl, and

30

25

30

heteroaryl each of which is optionally substituted by $\{1, 2, \text{ or } 3 \text{ of } R_{30}\}$;

R₂₀ is independently selected at each occurrence from the group consisting of halogen; hydroxy; nitro; cyano; amino; (C₁-C₆)alkyl; (C₁-C₆)alkoxy optionally substituted with amino or mono- or di(C₁-C₆)alkylamino; (C₃-C₈)cycloalkyl; (C₃-C₈)cycloalkyl(C₁-C₄)alkyl; (C₃-C₆)alkenyl; (C₂-C₆)alkenyl; (C₂-C₆)alkynyl; halo(C₁-C₆)alkyl; halo(C₁-C₆)alkyl; and mono- and di(C₁-C₆)alkylamino; amino(C₁-C₆)alkyl;

R₃₀ is independently selected at each occurrence from halogen, hydroxy, nitro, cyano, amino, (C₁-C₆) alkyl, (C₁-C₆) alkoxy optionally substituted with amino or mono- or di(C₁-C₆) alkylamino, (C₃-C₈) cycloalkyl, (C₃-C₈) cycloalkyl (C₁-C₄) alkyl, (C₃-C₈) cycloalkyl (C₁-C₄) alkoxy, heterocycloalkyl, (C₂-C₆) alkenyl, (C₂-C₆) alkynyl, halo(C₁-C₆) alkyl, halo(C₁-C₆) alkoxy, oxo, mono- and di(C₁-C₆) alkylamino, amino(C₁-C₆) alkyl, and mono- and di(C₁-C₆) alkylamino(C₁-C₆) alkylamino(C₁-C₆) alkyl;

 R_5 represents hydrogen or halo (C_1-C_6) alkyl; or R_5 represents (C_1-C_6) alkyl, (C_3-C_8) cycloalkyl, or $(C_3-C_8$ cycloalkyl) (C_1-C_4) alkyl, each of which may contain one or more double or triple bonds, and each of which is optionally substituted with 1, 2, or 3 of R_{30} or

 R_5 represents aryl, aryl(C_1 - C_4)alkyl, heteroaryl, or heteroaryl(C_1 - C_4)alkyl each of which is optionally substituted with 1, 2, or 3 substituents selected from the group consisting of:

halo(C_1 - C_6) alkyl, amino, NH(R_{10}), N(R_{10}) (R_{11}), carboxamido, NH(R_{10}) carbonyl, N(R_{10}) (R_{11}) carbonyl, halogen, hydroxy, nitro, cyano, amino, (C_1 - C_6) alkyl, (C_1 - C_6) alkoxy optionally

substituted with amino or mono- or

30

R' is independently chosen at each occurrence from hydrogen,

 C_1-C_6 alkyl, C_3-C_8 cycloalkyl, C_3-C_8 cycloalkyl(C_1-C_8 alkyl), and 3- to 7-membered carbocyclic or heterocyclic groups

25



which are saturated, unsaturated, or aromatic, which 3-to 7-membered carbocyclic or heterocyclic groups are optionally substituted with one or more substituents independently selected from halogen, oxo, hydroxy, C_{1-4} alkyl, and $-O(C_{1-4}$ alkyl); and

 X_1 , X_2 , W, and Y are as defined in Claim 1.

3. A compound or salt according to Claim 2 of the formula:

$$\begin{array}{c|c}
Z_1 & X_3 & X_4 \\
Z_1 & X_2 & X_1 \\
Z_3 & Z_4 & N
\end{array}$$

$$\begin{array}{c|c}
X_2 & X_4 & N \\
X_2 & X_1 & N \\
R_5 & N
\end{array}$$

wherein Z_1 , Z_2 , Z_3 , Z_4 , R_5 , Q, X_1 , X_2 , and W are as defined in Claim 2;

 X_3 and X_4 are independently selected from the group consisting of carbon, CR, N, O, S, NH, and $N(C_1-C_6)$ alkyl;

provided that at least one of X_1 , X_2 , X_3 , and X_4 is carbon or CR, wherein

R is independently chosen at each occurrence from hydrogen, halogen, amino, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkoxy, carboxamido, and 3- to 7-membered carbocyclic or heterocyclic groups which are saturated, unsaturated, or aromatic, which may be further substituted with one or more substituents independently selected from halogen, oxo, hydroxy, C_{1-4} alkyl, and - $O(C_{1-4}$ alkyl).

4. A compound or salt according to Claim 1 wherein Z_1 is CR_1 ; Z_2 is CR_2 ; Z_3 is CR_3 ; and Z_4 is CR_4 .

- 5. A compound or salt according to Claim 2 wherein Z_1 is CR_1 ; Z_2 is CR_2 ; Z_3 is CR_3 ; and Z_4 is CR_4 .

Ш

1 20 .

- A compound or salt according to Claim 3 wherein Z_1 is $CR_1 \setminus Z_2$ is CR_2 ; Z_3 is CR_3 ; and Z_4 is CR_4 .
- 7. A compound or salt according to Claim 6, wherein X_2 is carbon; and Q is oxygen.
- 10 8. A compound or salt according to Claim 6, wherein X_2 is N; and Q is $\mathfrak{S}(R_6)(R_7)$.
 - 9. A compound or salt according to Claim 6, wherein X_2 is carbon; and Q is $\mathfrak{C}(R_6)(R_7)$.
 - 10. A compound or salt according to Claim 6, wherein X1 is carbon; X_2 is N; and Q is $C(R_6)(R_7)$.
 - 11. A compound or salt according to Claim 6, wherein X1 is nitrogen; X_2 is carbon; and Q is $C(R_6)(R_7)$.
 - 12. A compound or salt according to Claim 6, wherein Q is $C(R_6)(R_7)$.
- 13. A compound or salt according to Claim 6 of the formula 25

$$R_2$$
 R_3
 R_4
 R_5

wherein R, R_1 , R_2 , R_3 , R_4 , R_5 , Q, and W are as defined in Claim 6.

14. A compound or salt according to Claim 13 wherein Q is $C(R_6)(R_7)$.

- 15. A compound or salt according to Claim 14, wherein:
 R is independently selected at each occurrence from the group consisting of
 - i) hydrogen, halogen, (C_1-C_6) alkyl, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, (C_1-C_6) alkoxy, halo (C_1-C_6) alkoxy, and
- 10 ii) phenyl and pyridyl each of which is optionally substituted with up to 3 substituents independently chosen from halogen, hydroxy, C_1 - C_4 alkyl, and -O(C_1 - C_4 alkyl);
 - R_1 , R_2 , R_3 , and R_4 are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, heterocycloalkyl, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, mono or di (C_1-C_6) alkylamino, amino (C_1-C_6) alkyl, and mono- and di (C_1-C_6) alkylamino (C_1-C_6) alkyl;
 - R_5 represents hydrogen, (C_1-C_6) alkyl, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, phenyl, benzyl, thiophenyl, thiazoyl, pyridyl, imidazolyl, pyrazolyl, or pyrimidinyl;
 - R_6 and R_7 independently represent hydrogen, fluorine, or C_1 - C_6 alkyl; and
- 25 W represents phenyl, thienyl, thiazoyl, pyridyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, isoxazolyl, or pyrimidinyl, each of which is optionally substituted with up to 4 independently selected R₃₀ groups.
- 16. A compound or salt according to Claim 14 wherein:

 R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C_1-C_2) alkyl;

Q1 5

M. M

25

 R_1 , R_3 , and R_4 are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkoxy, mono or di (C_1-C_6) alkylamino, amino (C_1-C_6) alkyl, and mono- and di (C_1-C_6) alkylamino (C_1-C_6) alkyl;

R₅ represents (Cd-C₆)alkyl;

Q is CH_2 ; and

W represents phenyl, furanyl, thienyl, thiazoyl, pyridyl,

imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl,

isoxazolyl, pyrimidinyl, benzimidazolyl, quinolinyl,

isoquinolinyl each of which is optionally substituted with

up to 4 R₃₀ groups.

- 17. A compound or salt according to Claim 16 wherein R_1 , R_3 , and R_4 are independently selected from hydrogen, halogen, trifluoromethyl, C_1 - C_2 alkyl, and cyano; and
- W is phenyl, pyridyl, or the azolyl, each which is optionally substituted by one or more substituents independently chosen from halogen, cyano, hydroxy, oxo, C_1 - C_2 haloalkyl, C_1 - C_2 alkyl, and C_1 - C_2 alkoxy.
- 18. A compound or salt according to Claim 17, wherein W is 2-thiazolyl, 2-pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-pyridinyl.
 - 19. A compound or salt according to Claim 17, wherein R, R_1 , and R_4 are hydrogen.
- 20. A compound or salt according to Claim 17, wherein R_5 is ethyl or n-propyl.

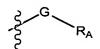
- A compound or salt according to Claim 17 wherein R₂ is chosen from
- i) hydragen, halogen, hydroxy, nitro, cyano, amino, halo (C_1-C_6) alkyl, and halo (C_1-C_6) alkoxy,
- ii) C_1 - C_6 alky, C_1 - C_6 alkoxy, C_3 - C_8 cycloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl (C_3 - C_8 cycloalkyl) C_1 - C_4 alkyl, -NH(R_{10}), -N(R_{10})(R_{11}), $(R_{10}) NH (C_1 - C_6) alkyl, (R_{10}) (R_{11}) N (C_1 - C_6) alkyl,$ (heterocycloalkyl) alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R_{20} .
- A compound or salt according to Claim 17 wherein R_2 is a group of the formula

where J is N, CH, or $C-(C_1-C_6)$ alkyl and

 (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, C_3 hydrogen, C_8) cycloalkyl, and $(C_3-C_8c_2^3cloalkyl)$ (C_1-C_4) alkyl; or R_{B} and R_{C} and the atom to which they are attached form a 4to 10-membered monocyclic or bicyclic ring, which may contain

- a) one or more double bonds,
 - b) one or more of oxo, O, S, S_0^N , SO_2 , and $N-R_D$ wherein R_D is hydrogen or (C_1-C_6) alkyl;
 - c) one or more substituents R_{20} .

23. A compound or salt according to Claim 17 wherein R₂ is a group of the formula:



where G is a bond or C1-C2alkyl; and

10

25

 R_{A} is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R_{20} .

24. A compound or salt according to Claim 23 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is is optionally substituted with 1, 2, 3, or 4 of R_{20} .

25. A compound or salt according to Claim 14, wherein: R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C_1-C_2) alkyl;

 R_1 , R_2 , and R_4 are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_3-C_8) cycloalkyl, (C_3-C_6) cycloalkyl (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, mono or di (C_1-C_6) alkylamino, amino (C_1-C_6) alkyl, and mono- and di (C_1-C_6) alkylamino (C_1-C_6) alkyl;

R₅ represents (C₁-C₆)alkyl;

Q is CH_2 ; and

W represents phenyl, furanyl, thienyl, thiazoyl, pyridyl,
imidazolyl, oxazolyl, triazolyl tetrazolyl, pyrazolyl,
isoxazolyl, pyrimidinyl, benzimidazolyl, quinolinyl,
isoquinolinyl each of which is optionally substituted with
up to 4 R₃₀ groups.

26. A compound or salt according to Claim 25 wherein R_1 , R_2 , and R_4 are independently selected from hydrogen, halogen, trifluoromethyl, C_1 - C_2 alkyl, and cyano, and

5

- W is phenyl, pyridyl, or thiazolyl, each of which is optionally substituted by one or more substituents independently chosen from halogen, cyano, hydroxy, oxo, C_1 - C_2 haloalkyl, C_1 - C_2 alkyl, and C_1 - C_2 alkoxy.
- 27. A compound or salt according to Claim 26, wherein W is 2-thiazolyl 2-pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-pyridinyl.
- 10 28. A compound or salt according to Claim 26, wherein R, R_1 , and R_4 are hydrogen.
 - 29. A compound or salt according to Claim 26, wherein $R_{\text{\tiny 5}}$ is ethyl or n-propyl.
 - 30. A compound or salt according to Claim 26 wherein $\ensuremath{R_3}$ is chosen from
 - i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C_1 - C_6) alkyl, and halo(C_1 - C_6) alkoxy,
 - ii) C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_3 - C_8 cycloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $(C_3$ - C_8 cycloalkyl) C_1 - C_4 alkyl, -NH(R_{10}), -N(R_{10}) (R_{11}), (R_{10}) NH(C_1 - C_6) alkyl, (R_{10}) (R_{11})N(C_1 - C_6) alkyl, (heterocycloalkyl) C_1 - C_4 alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R_{20} .
 - 31. A compound or salt according to Claim 26 wherein $\ensuremath{R_3}$ is a group of the formula

where J is N, CH, or $C-(C_1-C_6)$ alkyl and

30

- R_B and R_C are independently selected from the group consisting of hydrogen, $(C_1\text{-}C_6)\,\mathrm{alkyl}$, $(C_2\text{-}C_6)\,\mathrm{alkenyl}$, $(C_2\text{-}C_6)\,\mathrm{alkynyl}$, $C_3\text{-}C_8)\,\mathrm{cycloalkyl}$, and $(C_3\text{-}C_8\mathrm{cycloalkyl})$ $(C_1\text{-}C_4)\,\mathrm{alkyl}$; or R_B and R_C and the atom to which they are attached form a 4-to 10 membered monocyclic or bicyclic ring, which may contain
- a) one or more double bonds,
 - b) one or more of oxo, O, S, SO, SO₂, and N-R_D wherein R_D is hydrogen or (C_1-C_6) alkyl;
 - c) one or more substituents R20.
- 32. A compound or salt according to Claim 26 wherein R_3 is a group of the formula:

 $S \xrightarrow{G} R_A$

where G is a bond or C_1-C_2 alk χ 1; and

- R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R_{20} .
- 33. A compound or salt according to Claim 32 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is is optionally substituted with 1, 2, 3, or 4 of R_{20} .
 - 34. A compound or salt according to claim 26 wherein R_3 is -HC=N-OH or -HC=N(C_1 - C_6 alkoxy).
 - 35. A compound or salt according to Claim 6 of the formula

25

$$\begin{array}{c|c}
R_1 & R \\
R_2 & N \\
R_3 & R_6 & R_7
\end{array}$$

wherein R, R_1 , R_2 , R_3 , R_4 , R_5 , R_6 , R_7 , and W are as defined in Claim 6.

- A compound or salt according to Claim 35, wherein: 5 36. R is independently selected at each occurrence from the group consisting of
 - hydrogen, halogen, $(C_1 C_6)$ alkyl, $(C_3 C_8)$ cycloalkyl, $(C_3 C_8)$ i) C_8) cycloalkyl (C_1-C_6) alkyl (C_1-C_6) alkoxy, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkoxy, and
 - ii) phenyl and pyridyl each of which is optionally substituted with up to 3 substituents independently chosen from halogen, hydroxy, C_{1-4} alkyl, and $-O(C_{1-4}$ alkyl);
 - R_1 , R_2 , R_3 , and R_4 are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C_1-C_6) alkyl, (C_1-C_6) C_6) alkoxy, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, hetekocycloalkyl, halo (C_1-C_6) C_6) alkyl, halo (C_1-C_6) alkoxy, mono or $di(C_1-C_6)$ alkylamino, amino (C_1-C_6) alkyl, and mono- and di (C_1-C_6) alkylamino (C_1-C_6) C_6) alkyl;
 - hydrogen, (C_1-C_6) alkyl, $(C_3 \ C_8)$ cycloalkyl, represents C_8) cycloalkyl (C_1 - C_6) alkyl, phenyl, bemzyl, thiazoyl, pyridyl, imidazolyl, pyrazolyl, or pyrimidinyl;
 - fluorine, or C_1-C_6 R₆ and R₇ independently represent hydrogen, alkyl; and
 - W represents phenyl, thienyl, thiazoyl, pyridyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, isoxazolyl, or

pyrimidinyl, each of which is optionally substituted with up to R_{30} groups.

Q' Crit

37. A compound or salt according to Claim 35, wherein: W represents a 6-membered aryl or heteroaryl groups, wherein the 6-membered aryl or heteroaryl group is optionally substituted with up to 4 groups independently selected from R_{30} , $-CO_2H$, $-C(=O)OR_E$, $-C(=O)MHR_E$, $-C(=O)NR_ER_F$, $-C(O)R_E$, $-S(O)_mR_E$, and $-OR_E$; and m is 0, 1, or 2.

10

38. A compound or salt according to Claim 35, wherein: W represents a 5-membered heteroaryl group, wherein the 5-membered heteroaryl group is optionally substituted with up to 4 groups independently selected from R_{30} , $-CO_2H$, $-C(=O)OR_E$, $-C(=O)NHR_E$, $-C(=O)NR_ER_F$, $-C(O)R_E$, $-S(O)_mR_E$, and $-OR_E$, and m is 0, 1, or 2.

- 39. A compound or salt according to Claim 35, wherein: R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C_1-C_2) alkyl;
- R₁, R₃, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

25

 R_5 represents (C_1 - C_6) alkyl;

R₆ and R₇ are hydrogen; and

W represents phenyl, furanyl, thienyl, thiazoyl, pyridyl,

imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl,

isoxazolyl, pyrimidinyl, benzimidazolyl, quinolinyl,

isoquinolinyl each of which is optionally substituted with

up to 4 R₃₀ groups.

느

- 40. A compound or salt according to Claim 39 wherein R_1 , R_3 , and R_4 are independently selected from hydrogen, halogen, trifluoromethyl, C_1 - C_2 alkyl, and cyano; and
- W is phenyl, pyridyl, or thiazolyl, each which is optionally substituted by one or more substituents independently chosen from halogen cyano, hydroxy, oxo, C₁-C₂haloalkyl, C₁-C₂alkyl, and C₁-C₂ alkoxy.
- 10 41. A compound or salt according to Claim 40, wherein W is 2-thiazolyl, 2-pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-pyridinyl.
 - 42. A compound or salt according to Claim 40, wherein R, R_1 , and R_4 are hydrogen.
 - 43. A compound or salt according to Claim 40, wherein $R_{\text{\tiny 5}}$ is ethyl or n-propyl.
 - 44. A compound or salt according to Claim 40 wherein R_2 is chosen from
 - i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C_1 - C_6) alkyl, and halo(C_1 - C_6) alkoxy,
- ii) C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_3 - C_8 cycloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $(C_3$ - C_8 cycloalkyl) C_1 - C_4 alkyl, -NH(R_{10}), -N(R_{10}) (R_{11}) , (R_{10}) NH(C_1 - C_6) alkyl, (R_{10}) (R_{11}) N(C_1 - C_6) alkyl, (heterocycloalkyl) C_1 - C_4 alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R_{20} .
- 30 45. A compound or salt according to Claim 40 wherein R_2 is a group of the formula

R_C

where \mathfrak{J} is N, CH, or C-(C₁-C₆)alkyl and

 R_B and R_C are independently selected from the group consisting of hydrogen, $(C_1\text{-}C_6)\,\mathrm{alkyl}$, $(C_2\text{-}C_6)\,\mathrm{alkenyl}$, $(C_2\text{-}C_6)\,\mathrm{alkynyl}$, $C_3\text{-}C_8)\,\mathrm{cycloalkyl}$, and $(C_3\text{-}C_8\mathrm{cycloalkyl})$ $(C_1\text{-}C_4)\,\mathrm{alkyl}$; or R_B and R_C and the atom to which they are attached form a 4-to 10-membered monocyclic or bicyclic ring, which may contain

- a) one or more double bonds,
 - b) one or more of oxo, O, S, SO, SO₂, and N-R_D wherein R_D is hydrogen or (C_1-C_6) alkyl;
 - c) one or more substituents R20.
- 46. A compound or salt according to Claim 40 wherein R_2 is a group of the formula:

S G RA

where G is a bond or C_1-C_2 alky, and

 R_{A} is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R_{20} .

- 47. A compound or salt according to Claim 46 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is is optionally substituted with 1, 2, 3, or 4 of R_{20} .
- 30 48. A compound or salt according to Claim 40 wherein

10

 R_2 is -HC=N-OH or -HC=N(C₁-C₆alkoxy).

49 A compound or salt according to Claim 35, wherein:

R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C1-C2)alkyl;

 R_1 , R_2 , and R_4 are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, mono or di (C_1-C_6) alkylamino, amino (C_1-C_6) alkyl, and mono- and di (C_1-C_6) alkylamino (C_1-C_6) alkyl;

R₅ represents (C₁-C₆)alkyl;

 R_6 and R_7 are hydrogen; and

W represents phenyl, furanyl, thienyl, thiazoyl, pyridyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, isoxazolyl, pyrimidinyl, benzimidazolyl, quinolinyl, isoquinolinyl each of which is optionally substituted with up to 4 R_{30} groups.

50. A compound or salt according to Claim 49 wherein R_1 , R_2 , and R_4 are independently selected from hydrogen, halogen, trifluoromethyl, $C_1\text{-}C_2$ alkyl, and cyano; and

W is phenyl, pyridyl, or thiazolyl, each which is optionally substituted by one or more substituents independently chosen from halogen, cyano, hydroxy, oxo, C₁-C₂haloalkyl, C₁-C₂alkyl, and C₁-C₂ alkoxy.

51. A compound or salt according to Claim 50, wherein W is 2-thiazolyl, 2-pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-30 pyridinyl.

52. A compound or salt according to Claim 50, wherein R, $R_{1},$ and R_{4} are hydrogen.

53. A compound or salt according to Claim 50, wherein $R_{\text{\scriptsize 5}}$ is ethyl or n-propyl.

and

- 54. A compound or salt according to Claim 50 wherein $\ensuremath{R_3}$ is chosen from
- i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo (C_1-C_6) alkyl, and halo (C_1-C_6) alkoxy,
- - 55. A compound or salt according to Claim 50 wherein R_3 is a group of the formula

where J is N, CH, or C-(C1-C6) alkyl and

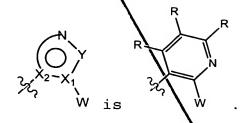
- R_B and R_C are independently selected from the group consisting of hydrogen, $(C_1\text{-}C_6)\,\mathrm{alkyl}$, $(C_2\text{-}C_6)\,\mathrm{alkenyl}$, $(C_2\text{-}C_6)\,\mathrm{alkynyl}$, $C_3\text{-}C_8)\,\mathrm{cycloalkyl}$, and $(C_3\text{-}C_8\mathrm{cycloalkyl})$ $(C_1\text{-}C_4)\,\mathrm{alkyl}$; or R_B and R_C and the atom to which they are attached form a 4-to 10-membered monocyclic or bicyclic ring, which may contain
- 25 a) one or more double bonds,
 - b) one or more of oxo, O, S, SO, SO₂, and $N_{\uparrow}R_{D}$ wherein R_{D} is hydrogen or $(C_{1}-C_{6})$ alkyl;
 - c) one or more substituents R_{20} .
- 30 56. A compound or salt according to Claim 50 wherein R_3 is a group of the formula:

where G is a bond or C_1 - C_2 alkyl; and

RA is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R_{20} .

- 57. A compound or salt according to Claim 56 wherein R_{A} is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is is optionally substituted with 1, 2, 3, or 4 of R_{20} .
- 58. A compound or salt according to Claim 50 wherein R_3 is -HC=N-OH or -HC=N(C_1 - C_6 a koxy).
- 59. A compound or salt according to Claim 3 wherein: Z_1 is CR_1 ; Z_2 is CR_2 ; Z_3 is CR_3 ; Z_4 is CR_4 ; X_1 is carbon; X_2 is nitrogen; X_3 is $\mathbb{C}\mathbb{R}$; X_4 is nitrogen; and \mathbb{Q} is $C(R_6)(R_7)$.
 - 60. A compound or salt according to Claim 3 wherein Z_1 is CR_1 ; Z_2 is CR_2 ; Z_3 is CR_3 ; Z_4 is CR_4 ; 25 X_1 is carbon; X_2 is nitrogen; X_3 is nitrogen; X_4 is CR; and Q is $C(R_6)(R_7)$.
 - 61. A compound or salt according to Claim, 3 wherein Z_1 is CR_1 ; Z_2 is CR_2 ; Z_3 is CR_3 ; Z_4 is CR_4 ; X_1 is carbon; X_2 is carbon; X_3 is S; and X_4 is CR. 30

63 A compound or salt according to Claim 2, wherein Z_1 is CR_1 , Z_2 is CR_2 ; Z_3 is CR_3 ; Z_4 is CR_4 ; and the group



64. A compound or salt according to Claim 63 wherein Q is $C(R_6)\left(R_7\right)$.

65. A compound or salt according to Claim 3 wherein Z_1 is CR_1 ; Z_2 is CR_2 ; Z_3 is CR_3 ; Z_4 is CR_4 ; X_1 is nitrogen; X_2 is carbon; X_3 is nitrogen; and X_4 is CR.

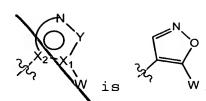
66. A compound or salt according to Claim 3 wherein Z_1 is CR_1 ; Z_2 is CR_2 ; Z_3 is CR_3 ; Z_4 is CR_4 ; X_1 is carbon; X_2 is carbon; X_3 is NH or $N(C_1-C_6alkyl)$; and X_4 is CR.

20

67. A compound or salt according to Claim 3 wherein Z_1 is CR_1 ; Z_2 is CR_2 ; Z_3 is CR_3 ; Z_4 is CR_4 ; X_1 is carbon; X_2 is nitrogen; X_3 is nitrogen; X_4 is nitrogen; and Q is $C(R_6)$ (R_7) .

25

68. A compound or salt according to Claim 2, wherein Z_1 is $CR_1;\ Z_2$ is $CR_2;\ Z_3$ is $CR_3;\ Z_4$ is $CR_4;$ and the group



25

30

69. A compound or salt according to Claim 3, wherein Z_1 is $CR_1;\ Z_2$ is $CR_2;\ Z_3$ is $CR_3;\ Z_4$ is $CR_4;$

 X_1 is nitrogen; X_2 is carbon; X_3 is CR; and X_4 is nitrogen.

70. A compound or salt according to Claim 69 wherein Q is $C\left(R_{6}\right)\left(R_{7}\right)$.

71. A compound or salt according to Claim 3, wherein Z_1 is CR_1 ; Z_2 is CR_2 ; Z_3 is CR_3 ; Z_4 is CR_4 ; X_1 is nitrogen; X_2 is carbon; X_3 is nitrogen; and X_4 is nitrogen.

72. A compound or salt according to Claim 71 wherein Q is $\dot{C}(R_6)(R_7)$.

73. A compound or salt according to Claim 1 wherein one and only one of Z_1 , Z_2 , Z_3 , and Z_4 is nitrogen.

74. A compound or salt according to Claim 2 wherein one and only one of Z_1 , Z_2 , Z_3 , and Z_4 is nitrogen.

75. A compound or salt according to Claim 3 wherein one and only one of $Z_1,\ Z_2,\ Z_3,$ and Z_4 is nitrogen.

76. A compound or salt according to Claim 75 wherein either Z_2 or Z_3 is nitrogen; and

W represents a 5-membered heteroaryl group, the 5 membered heteroaryl group is optionally substituted with up to 4 groups independently selected from R_{30} , $-CO_2H$, $-C(=O)OR_E$, $-C(=O)OR_E$

Cont

77 A compound or salt according to Claim 76, wherein X_2 is carbon; and Q is oxygen.

- 78. A compound or salt according to Claim 76, wherein X_2 is N; and Q is $C(R_6)(R_7)$.
- 79. A compound or salt according to Claim 76, wherein X_2 is carbon; and Q is $C(R_6)(R_7)$.
 - 80. A compound or salt according to Claim 76, wherein X_1 is carbon; X_2 is N; and Q is $C(R_6)(R_7)$.
 - 81. A compound or salt according to Claim 76, wherein X_1 is nitrogen; X_2 is carbon; and Q is $C(R_6)(R_7)$.
 - 82. A compound or salt according to Claim 76 of the formula

 R_2 R_4 R_5 R_6 R_7

20

rooseos, reeror

wherein R, R_1 , R_2 , R_4 , R_5 , R_6 , R_7 , and W are as defined in Claim 76.

83. A compound or salt according to Glaim 82, wherein:
25 R is independently selected at each occurrence from the group consisting of

25

30

- i) hydrogen, halogen, (C_1-C_6) alkyl, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, (C_1-C_6) alkoxy, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkoxy, and
- ii) phenyl and pyridyl each of which is optionally substituted with up to 3 substituents independently chosen from halogen, hydroxy, C_{1-4} alkyl, and $-O(C_{1-4}$ alkyl);
- R₁, R₂, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₂-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, heterocycloalkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkyl, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;
- C_{3} represents hydrogen $(C_{1}-C_{6})$ alkyl, $(C_{3}-C_{8})$ cycloalkyl, $(C_{3}-C_{8})$ cycloalkyl $(C_{1}-C_{6})$ alkyl, phenyl, benzyl, thiophenyl, thiazoyl, pyridyl, imigazolyl, pyrazolyl, or pyrimidinyl;
- R_6 and R_7 independently represent hydrogen, fluorine, or $C_1\text{-}C_6$ alkyl; and
- W represents thienyl, thiazolyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, or isoxazolyl each of which is optionally substituted with up to 4 R_{30} groups.
 - 84. A compound or salt according to Claim 82, wherein:
- R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C_1-C_2) alkyl;
- R_1 and R_4 are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, halo (C_1-C_6) alkoxy, mono or di (C_1-C_6) alkylamino, amino (C_1-C_6) alkyl, and mono- and di (C_1-C_6) alkylamino (C_1-C_6) alkyl;

 R_5 represents (C_1 - C_6) alkyl;

R₆ and R₇ are hydrogen; and

- W represents furanyl, thienyl, thiazoyl, imidazolyl, oxazolyl, riazolyl, tetrazolyl, pyrazolyl, or isoxazolyl, each of which is optionally substituted with up to 4 R₃₀ groups.
- 85. A compound or salt according to Claim 84 wherein R_1 and R_4 are independently selected from hydrogen, halogen, trifluoromethyl, $C_1\text{-}C_2$ alkyl, and cyano; and
- W is thiazolyl which is optionally substituted by one or more substituents independently chosen from halogen, cyano, hydroxy, oxo, C_1 - C_2 haloalkyl, C_1 - C_2 alkyl, and C_1 - C_2 alkoxy.
- 86. A compound or salt according to Claim 85, wherein W is 2-thiazolyl.
- 87. A compound or salt according to Claim 85, wherein R, R_1 , and R_4 are hydrogen.
- 88. A compound or salt according to Claim 85, wherein R_{5} is ethyl or n-propyl.
- 89. A compound or salt according to Claim 85 wherein R_2 is chosen from
- i) hydrogen, halogen, hydroxy, hitro, cyano, amino, halo(C_1 - C_6) alkyl, and halo(C_1 - C_6) alkoxy,
- 25 ii) C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_3 - C_8 cycloalkyl, C_2 - C_6 alkenyl, C_1 - C_6 alkynyl, $(C_3$ - C_8 cycloalkyl) C_1 - C_4 alkyl, -NH(R_{10}), -N(R_{10}) (R_{11}), (R_{10}) NH(C_1 - C_6) alkyl, (R_{10}) (R_{11}) N(C_1 - C_6) alkyl, (heterocycloalkyl) C_1 - C_4 alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R_{20} .
 - 90. A compound or salt according to Claim 85 wherein R_2 is chosen from hydrogen, halogen, hydroxy, nitro, cyano, amino, halo (C_1-C_6) alkyl, and halo (C_1-C_6) alkoxy.

<u>1</u>0

30

where J is N, CH, or C-(C₁-C₆)alkyl and

 R_B and R_C are independently selected from the group consisting of hydrogen, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, C_3-C_8 cycloalkyl, and $(C_3-C_8$ cycloalkyl) (C_1-C_4) alkyl; or

 R_{B} and R_{C} and the atom to which they are attached form a 4- to 10-membered monocyclic or bicyclic ring, which may contain

- a) one or more double bonds,
- b) one or more of $(\infty, 0, S, SO, SO_2, and N-R_D)$ wherein R_D is hydrogen or (C_1-C_6) alkyl; and/or
- c) one or more substituents R₂₀.
- 92. A compound or salt according to Claim 85 wherein R_2 is a group of the formula:

\$ G RA

where G is a bond or C1-C2alkyl; and

- 20 R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R₂₀.
 - 93. A compound or salt according to Claim 92 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is is optionally substituted with 1, 2, 3, or 4 of R_{20} .

DI 5 Cont

95. A compound or salt according to Claim 76 of the formula

$$\begin{array}{c|c}
R_1 & R \\
N & R_5 \\
R_6 & R_7
\end{array}$$

wherein R, R_1 , R_2 , R_4 , R_5 , R_6 , R_7 , and W are as defined in Claim 76.

- 96. A compound or salt according to Claim 75, wherein:
 R is independently selected at each occurrence from the group consisting of
- i) hydrogen, halogen, (C_1-C_6) alkyl, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, (C_1-C_6) alkoxy, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkoxy, and
- ii) phenyl and pyridyl each of which is optionally substituted with up to 3 substituents independently chosen from halogen, hydroxy, C_{1-4} alkyl, and $-O(C_{1-4}$ alkyl);
- R₁, R₃, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, heterocycloalkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;
 - R_5 represents hydrogen, (C_1-C_6) alkyl, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, phenyl, benzyl, thiophenyl, thiazoyl, pyridyl, imidazolyl, pyrazolyl, or pyrimidinyl;

 \mathbb{R}_6 and \mathbb{R}_7 independently represent hydrogen, fluorine, or \mathbb{C}_1 - \mathbb{C}_6 alkyl; and

W represents thienyl, thiazoyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, or isoxazolyl each of which is optionally substituted with up to 4 R₃₀ groups.

97. A compound or salt according to Claim 95, wherein:

- R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C1-C2) alkyl;
- R₁ and R₄ are independently selected from hydrogen, halogen, 10 hydroxy, nitro, cyano, amino, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkoxy, mono or $di(C_1-C_6)$ alkylamino λ amino (C_1-C_6) alkyl, and mono- and $di(C_1-C_6)$ C_6) alkylamino (C_1-C_6) alkyl;

R₅ represents (C₁-C₆)alkyl;

R₆ and R₇ are hydrogen; and

- W represents furanyl, thienyl, thiazoyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, or isoxazolyl, each of which is optionally substituted with up to 4 R₃₀ groups.
- A compound or salt according to Claim 97 wherein R_1 and R_4 are independently selected from hydrogen, halogen, trifluoromethyl, C₁-C₂ alkyl, and cyano; and
- W is thiazolyl which is optionally substituted by one or more 25 substituents independently chosen from halogen, cyano,
- 99. A compound or salt according to Claim $9\ensuremath{\delta_{\text{N}}}$, wherein W is 30 2-thiazolyl.
 - 100. A compound or salt according to Claim 98, Wherein R, R_1 , and R_4 are hydrogen.

- 102. A compound or salt according to Claim 98 wherein R₃ is chosen from
- hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C1- C_6) alkyl, and halo (C_1-C_6) alkoxy, and
- ii) C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_3 - C_8 cycloalkyl, C_2 - C_6 alkenyl, C_2 -10 C_6 alkynyl, $(C_3 C_8 cycloalkyl)$ $C_1 - C_4 alkyl$, -NH(R_{10}), - $N(R_{10})(R_{11})$, $(R_{10})(NH(C_1-C_6)alkyl)$, $(R_{10})(R_{11})N(C_1-C_6)alkyl$, (heterocycloalkyl) C_1 - C_4 alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R_{20} .
 - A compound or salk according to Claim 102 wherein R₃ is chosen from hydrogen, halogen, hydroxy, nitro, cyano, amino, halo (C_1-C_6) alkyl, and halo (C_1-C_6) alkoxy.
 - 104. A compound or salt according to Claim 98 wherein R_3 is a group of the formula

where J is N, CH, or $C-(C_1-C_6)$ alkyl and

- R_{B} and R_{C} are independently selected from the group consisting of (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, C_3 hydrogen, C_8) cycloalkyl, and $(C_3-C_8$ cycloalkyl) (C_1-C_4) alkyl; or
- R_B and R_C and the atom to which they are attached form a 4- to 10-membered monocyclic or bicyclic ring, which may contain
 - a) one or more double bonds,
- 30 b) one or more of oxo, O, S, SO, SO_2 , and $N-R_D$ wherein R_D is hydrogen or (C_1-C_6) alkyl; and/or

25

105. A compound or salt according to Claim 98 wherein R_3 is a group of the formula:

B G RA

where G is a bond or C1-C2alkyl; and

 R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R_{20} .

- 106. A compound or salt according to Claim 105 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is is optionally substituted with 1, 2, 3, or 4 of R_{20} .
- 107. A compound or salt according to Claim 98 wherein R_2 is -HC=N-OH or -HC=N(C₁-C₆alkoxy).
- 108. A compound or salt according to Claim 76 wherein: X_1 is carbon; X_2 is nitrogen; X_3 is CR; and X_4 is nitrogen; and Q is $C(R_6)(R_7)$.
- 109. A compound or salt according to Claim 76 wherein X_1 is carbon; X_2 is nitrogen; X_3 is nitrogen; X_4 is CR; and Q is $C(R_6)(R_7)$.
- 30 110. A compound or salt according to Claim 76 wherein X_1 is carbon; X_2 is carbon; X_3 is S; and X_4 is CR.

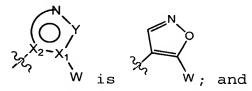
-285-

Cont

10

112. A compound or salt according to Claim 76 wherein X_1 is nitrogen; X_2 is carbon; X_3 is nitrogen; and X_4 is CR.

- 113. A compound or salt according to Claim 76 wherein X_1 is carbon; X_2 is carbon; X_3 is NH or $N(C_1-C_6alkyl)$; and X_4 is CR.
- 114. A compound or salt according to Claim 76 wherein X_1 is carbon; X_2 is nitrogen; X_3 is nitrogen; X_4 is nitrogen; and X_4 is nitrogen; and X_5 is X_6 is X_6 .
 - 115. A compound or salt according to Claim 74, wherein either Z_2 or Z_3 is nitrogen; and the group



W represents a 5-membered heteroaryl group, the 5-membered heteroaryl group is optionally substituted with up to 4 groups independently selected from R_{30} , $-CO_2H$, $-C(=O)OR_E$, $-C(=O)NHR_E$, $-C(=O)NR_ER_F$, $-C(O)R_E$, and $-S(O)_mR_E$, $-OR_E$, where R_{30} and R_E are as defined above and m is 0, 1, or 2.

- 25 116. A compound or salt according to Claim 76, wherein X_1 is nitrogen; X_2 is carbon; X_3 is CR; and X_4 is nitrogen.
 - 117. A compound or salt according to Claim 116 wherein Q is $C(R_6)\left(R_7\right)$.
 - 118. A compound or salt according to Claim 76, wherein

20

30

 X_1 is carbon; X_2 is carbon; X_3 is NH or NCH₃; and X_4 is CR.

119. A compound or salt according to Claim 76, wherein X_1 is n_1 trogen; X_2 is carbon; X_3 is nitrogen; and X_4 is nitrogen.

- 120. A compound or salt according to Claim 119 wherein Q is $C(R_6)(R_7)$.
- 121. A compound or salt according to Claim 75 wherein either Z_2 or Z_3 is nitrogen; and 10 W represents a 6-membered aryl or heteroaryl group, the 6membered aryl or heteroaryl group is optionally substituted with up to 4 groups independently selected from R₃₀, -CO₂H, -
 - $C(=O)OR_E$, $-C(=O)NHR_E$ $-C(=O)NR_ER_F$, $-C(O)R_E$, and $-S(O)_mR_E$, $-C(O)R_E$ OR_E , where R_{30} and R_E are as defined above and m is 0, 1, or 2.
 - 122. A compound or salt according to Claim 121, wherein X_2 is carbon; and Q is oxygen.
 - 123. A compound or salt according to Claim 121, wherein X_2 is N; and Q is $C(R_6)(R_7)$.
 - 124. A compound or salt according to Claim 121, wherein 25 X_2 is carbon; and Q is $C(R_6)(R_7)$.

- 125. A compound or salt according to Claim 121, wherein X1 is carbon; X_2 is N; and Q is $C(R_6)(R_7)$.
- 126. A compound or salt according to Claim 121, wherein X_1 30 is nitrogen; X_2 is carbon; and Q is $C(R_6)(R_7)$.

128. A compound or salt according to Claim 121 of the

formula

$$R_2$$
 R_4
 R_5
 R_6
 R_7
 R_7

wherein R, R_1 , R_2 , R_4 , R_5 , R_6 , R_7 , and W are as defined in Claim 121.

- 129. A compound or salt according to Claim 128, wherein:
 R is independently selected at each occurrence from the group consisting of
- i) hydrogen, halogen, (C_1-C_6) alkyl, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, (C_1-C_6) alkoxy, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, and
- ii) phenyl and pyridyl each of which is optionally substituted with up to 3 substituents independently chosen from halogen, hydroxy, C₁₋₄alkyl, and -O(C₁₋₄alkyl);
- R₁, R₂, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆) alkyl, (C₁-C₆) alkoxy, (C₃-C₈) cycloalkyl, (C₃-C₈) cycloalkyl (C₁-C₆) alkyl, (C₂-C₆) alkenyl, (C₂-C₆) alkynyl, heterocycloalkyl, halo(C₁-C₆) alkyl, halo(C₁-C₆) alkoxy, mono or di(C₁-C₆) alkylamino, amino(C₁-C₆) alkyl, and mono- and di(C₁-C₆) alkylamino(C₁-C₆) alkyl;
 - R_5 represents hydrogen, (C_1-C_6) alkyl, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, phenyl, benzyl thiophenyl, thiazoyl, pyridyl, imidazolyl, pyrazolyl, or pyrimidinyl;

and R_7 independently represent hydrogen, fluorine, or C_1 - C_6 alkyl; and

W represents phenyl, pyrimidinyl, pyridyl, pyridizinyl, or pyrazinyl, each of which is optionally substituted with up to $4 R_{30}$ groups.

130. A compound or salt according to Claim 128, wherein:

- R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C_1-C_2) alkyl;
- R_1 and R_4 are independently selected from hydrogen, halogen, 10 hydroxy, nitro, cyano, amino, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkoxy, mono or $di(C_1-C_6)$ alkylamino, amino (C_1-C_6) alkyl, and mono- and $di(C_1-C_6)$ C_6) alkylamino (C_1-C_6) alk χ 1;

R₅ represents (C₁-C₆)alkyl;

 R_6 and R_7 are hydrogen; and

- W represents phenyl, pyrimidinyl pyridyl, pyridizinyl, or pyrazinyl each of which is optionally substituted with up to 4 R₃₀ groups.
- 131. A compound or salt according to Claim 130 wherein R_1 and R_4 are independently selected from hydrogen, halogen, trifluoromethyl, C₁-C₂ alkyl, and cyamo; and
- W is phenyl or pyridyl, each of which is optionally substituted 25 by one or more substituents independently chosen from halogen, cyano, hydroxy, oxo, C₁-C₂haloalkyl, C₁-C₂alkyl, and C_1-C_2 alkoxy.
- 132. A compound or salt according to Claim 134, wherein W is 30 2-pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-pyridinyl.

133. A compound or salt according to Claim 131, wherein R, and R4 are hydrogen.

134. A compound or salt according to Claim 131, wherein R_5 is ethyl or n-propyl.

- A compound or salt according to Claim 131 wherein R₂ is chosen from
- hydrogen, halogen, hydroxy, nitro, cyano, amino, C_6) alkyl, and halo (C_1-C_6) alkoxy, and
- ii) C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_3 - C_8 cycloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $(C_3 - C_8)$ cycloalkyl) $C_1 - C_4$ alkyl, $-NH(R_{10})$, $-N(R_{10})$ (R_{11}) , $(R_{10}) NH (C_1-C_6) alk vl, (R_{10}) (R_{11}) N (C_1-C_6) alk vl,$ (heterocycloalkyl) C1-C4alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R_{20} .
- A compound or salt according to Claim 135 wherein R₂ is chosen from hydrogen, halogen, hydroxy, nitro, cyano, amino, halo (C_1-C_6) alkyl, and halo (C_1-C_6) alkoxy.
- A compound or salt according to Claim 131 wherein R₂ is a group of the formula

where J is N, CH, or $C-(C_1-C_6)$ alkyl and

- R_{B} and R_{C} are independently selected from the group consisting of 25 hydrogen, (C_1-C_6) alkyl, (C_2-C_6) alkenyl (C_2-C_6) alkynyl, $C_3 C_8$)cycloalkyl, and $(C_3-C_8$ cycloalkyl) $(C_1-\overline{C}_4)$ alkyl; or
 - R_B and R_C and the atom to which they are attached form a 4- to 10-membered monocyclic or bicyclic ring, which may contain

a) one or more double bonds, 30

- b) one or more of oxo, O, S, SO, SO₂, and N-R_D wherein R_D is hydrogen or (C_1-C_6) alkyl; one or more substituents R_{20} .
- 138. A compound or salt according to Claim 131 wherein R_2 is a group of the formula:

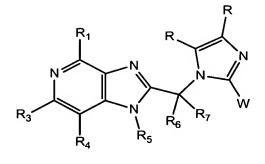
S G RA

10

where G is a bond or C_1 - C_2 alkyl; and

 R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R_{20} .

- 139. A compound or salt according to Claim 138 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is is optionally substituted with 1, 2, 3, or 4 of R_{20} .
- 140. A compound or salt according to Chaim 131 wherein R_2 is -HC=N-OH or -HC=N(C_1 - C_6 alkoxy).
- 141. A compound or salt according to Claim 121 of the 25 formula



142. A compound or salt according to Claim 141, wherein: R is independently selected at each occurrence from the group consisting of

- i) hydrogen, halogen, (C₁-C₆) alkyl, (C₃-C₈) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, (C_1-C_6) alkoxy, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkoxy, and
- phenyl and pyridyl each of which is optionally substituted 10 with up to 3 substituents independently chosen from halogen, hydroxy, C_{1-4} alkyl, and $-O(C_{1-4}$ alkyl);
 - R₁, R₃, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, (C_2-C_8) C_6) alkenyl, (C_2-C_6) alkynyl, heterocycloalkyl, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkoxy, mono or $di(C_1-C_6)$ alkylamino, amino (C_1-C_6) alkyl, and mono- and $di(C_1-C_6)$ C_6) alkylamino (C_1-C_6) alkyl;
 - R₅ represents $(C_1-\ddot{C}_6)$ alkyl, (C_3-C_8) cycloalkyl, hydrogen, C_8) cycloalkyl (C_1 - C_6) alkyl, phenyl, benzyl, thiophenyl, thiazoyl, pyridyl, imidazolyl, pyrazolyl, or pyrimidinyl;
 - R₆ and R₇ independently represent hydrogen, fluorine, or C₁-C₆ alkyl; and
 - W represents phenyl, pyridyl, pyridizinyl, pyrimidinyl, or 25 pyrazinyl, each of which is optionally substituted with up to 4 R₃₀ groups.
 - A compound or salt according to Claim 142, wherein:
 - 30 R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C₁-C₂)\alkyl;
 - R₁ and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C_1-C_6) alkyl, $(C_{1/2}-C_6)$ alkoxy,

ماره و

 (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkoxy, mono or di (C_1-C_6) alkylamino, amino (C_1-C_6) alkyl, and mono- and di (C_1-C_6) alkylamino (C_1-C_6) alkyl;

 R_5 represents (C_1 - C_6)alkyl;

R₆ and R₇ are hydrogen; and

W represents phenyl, pyridyl, pyridizinyl, pyrimidinyl, or pyrazinyl, each of which is optionally substituted with up to 4 R_{30} groups.

10

- 144. A compound or salt according to Claim 143 wherein R_1 and R_4 are independently selected from hydrogen, halogen, trifluoromethyl, C_1 C_2 alkyl, and cyano; and
- W is phenyl or pyridyl, each of which is optionally substituted by one or more substituents independently chosen from halogen, cyano, hydroxy, oxo, C₁-C₂haloalkyl, C₁-C₂alkyl, and C₁-C₂ alkoxy.
- 145. A compound or salt according to Claim 144, wherein W is 2-pyrimidinyl, 3-fluorophenyl, or 6 fluoro-2-pyridinyl.
- 146. A compound or salt according to Claim 144, wherein R, R_1 and R_4 are hydrogen.
- 25 147. A compound or salt according to Claim 144, wherein R_5 is ethyl or n-propyl.
 - 148. A compound or salt according to Claim 144 wherein $\ensuremath{R_3}$ is chosen from
- 30 i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo (C_1-C_6) alkyl, and halo (C_1-C_6) alkoxy,
 - ii) C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_3 - C_8 cycloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $(C_3$ - C_8 cycloalkyl) C_1 - C_4 alkyl, -NH(R_{10})

30

-N(R_{10})(R_{11}), (R_{10})NH(C_1 - C_6)alkyl, (R_{10})(R_{11})N(C_1 - C_6)alkyl, (heterocycloalkyl) C_1 - C_4 alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R_{20} .

149. A compound or salt according to Claim 148 wherein R_3 is chosen from hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C_1 - C_6) alkyl, and halo(C_1 - C_6) alkoxy.

150. A compound or salt according to Claim 144 wherein 10 $\,$ $\,$ R $_{\!3}$ is a group of the formula

where J is N, CH, or $C-(C_1-C_6)$ alkyl and

 R_B and R_C are independently selected from the group consisting of hydrogen, $(C_1-C_6)\, alkyl$, $(C_2-C_6)\, alkenyl$, $(C_2-C_6)\, alkynyl$, $C_3-C_8)\, cycloalkyl$, and $(C_3-C_8cycloalkyl)\, (C_1-C_4)\, alkyl$; or R_B and R_C and the atom to which they are attached form a 4- to

10-membered monocyclic or bicyclic ring, which may contain

- a) one or more double bonds,
- b) one or more of oxo, O, S, SO, $\rootnote{SO_2}$, and N-R_D wherein R_D is hydrogen or (C₁-C₆)alkyl;
- c) one or more substituents R_{20} .

where G is a bond or C₁-C₂alkyl; and

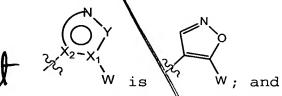
R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially

Cont cont

720

- 152. A compound or salt according to Claim 151 wherein R_A is chosen from phenyl, pyrrolyl, pyrazolyl, thiazolyl, isoxazolyl, triazolyl, tetrazolyl, oxadiazolyl, and oxazolyl each of which is is optionally substituted with 1, 2, 3, or 4 of R_{20} .
- 153. A compound or salt according to Claim 144 wherein 10 R_2 is -HC=N-OH or -HC=N(C₁-C₆alkoxy).
 - 154. A compound or salt according to Claim 121 wherein: X_1 is carbon; X_2 is nitrogen; X_3 is CR; X_4 is nitrogen; and Q is $C\left(R_6\right)\left(R_7\right)$.
 - 155. A compound or salt according to Claim 121 wherein X_1 is carbon; X_2 is nitrogen; X_3 is nitrogen; X_4 is CR; and Q is $C\left(R_6\right)\left(R_7\right)$.
 - 156. A compound or salt according to Claim 121 wherein X_1 is carbon; X_2 is carbon; X_3 is S; X_4 is CR; and Q is $C(R_6)$ (R_7).
 - 157. A compound or salt according to Claim 156 wherein X_1 is nitrogen; X_2 is carbon; X_3 is nitrogen; and X_4 is CR.
 - 158. A compound or salt according to Claim 121 wherein X_1 is carbon; X_2 is carbon; X_3 is NH or $N(C_1-C_6alkyl)$; and X_4 is CR.
- 159. A compound or salt according to Claim 12% wherein X_1 is carbon; X_2 is nitrogen; X_3 is nitrogen; X_4 is nitrogen; and Q is $C(R_6)(R_7)$.

160. A compound or salt according to Claim 119, wherein either Z_2 or Z_3 is nitrogen; and the group



- W represents a 6-membered aryl or heteroaryl group, wherein the 6-membered aryl or heteroaryl group is optionally substituted with up to 4 groups independently selected from $R_{30},\ -CO_2H,\ -C\ =O)\ OR_E,\ -C\ (=O)\ NHR_E,\ -C\ (=O)\ NR_ER_F,\ -C\ (O)\ R_E,\ and\ -S\ (O)_mR_E,\ -OR_E,\ where\ R_{30}\ and\ R_E\ are\ as\ defined\ above\ and\ m\ is 0, 1, or 2.$
 - 161. A compound or salt according to Claim 121, wherein X_1 is nitrogen; X_2 is carbon; X_3 is CR; and X_4 is nitrogen.
 - 162. A compound or salt according to Claim 161 wherein Q is $C(R_6)\left(R_7\right)$.
 - 163. A compound or salt according to Claim 121, wherein X_1 is nitrogen; X_2 is carbon; X_3 is nitrogen; and X_4 is nitrogen.
 - 164. A compound or salt according to Claim 163 wherein Q is $C(R_6)\left(R_7\right)$.
- 165. A pharmaceutical composition comprising a compound or 25 salt according to Claim 1 combined with at least one pharmaceutically acceptable carrier or excipient.
- of a GABA_A receptor, said method comprising contacting a cell expressing such a receptor with an amount of a compound or salt according to Claim 1 sufficient to detectably alter the

(K'

electrophysiology of the cell, wherein a detectable alteration of the electrophysiology of the cell indicates an alteration of the signal transducing activity of $GABA_A$ receptors.

167. A method for altering the signal-transducing activity of a GABAA receptor, said method comprising contacting a cell expressing such receptors with an amount of a compound or salt according to claim 1 to detectably alter the chloride conductance in vitro of cell expressing GABAa receptors.

10

168. The method of Claim 167 wherein the cell is recombinantly expresses a heterologous $GABA_A$ receptor and the alteration of the electrophysiology of the cell is detected by intracellular recording or patch clamp recording.

169. The method of Claim 167 wherein the cell is a neuronal cell that is contacted in vivo in an animal, the cell is contacted with the compound or salt dissolved in a body fluid, and the alteration in the electrophysiology of the cell is detected as a change in the animal's behavior.

170. The method of Claim 169 wherein the animal is a human, the neuronal cell is a brain cell, and the body fluid is cerebrospinal fluid.

25

- 171. A method for altering the signal-transducing activity of a GABA_A receptor, the method comprising exposing a cell expressing the GABA_A receptor to an amount of a compound or salt according to Claim 1 sufficient to inhibit RO15-1788 binding in vitro to cells expressing a human GABA_A receptor.
- 172. A method for the treatment of anxiety, depression, a sleep disorder, schizophrenia, attention deficit-hyperactivity

10

disorder, or for the enhancement of memory, comprising administering an effective amount of a compound or salt of Claim 1 to a patient.

173 A method for demonstrating the presence of a $GABA_A$ receptor in a cell or tissue sample, said method comprising:

contacting a cell or tissue sample with a labeled compound or salt according to Claim 1;

washing the cell or tissue sample to remove unbound labeled compound or salt; and

detecting the presence of labeled compound or salt in the cell or tissue sample.

- 174. The method of Claim 173 in which the cell or tissue sample is a tissue section.
- 175. The method of Claim 173 in which the labeled compound or salt contains a radioactive label or a directly or indirectly luminescent label.
- 176. The method of Claim 173 in which the cell or tissue sample is a tissue section, labeled compound or salt contains a radioactive label or a directly or indirectly luminescent label, and the labeled compound or salt is detected autoradiographically to generate an autoradiogram.
- 177. A method for demonstrating the presence of a $GABA_A$ receptor in a tissue section comprising:

contacting the tissue section with a radiolabeled or

luminescently labeled compound or salt according to Claim 1 to

yield a contacted tissue section;

washing the tissue section to remove unbound labeled compound or salt;

and t

10

25

comparing the exposure density of the tissue section with the exposure density of a second tissue section that has not been contacted with a compound or salt according to Claim 1.

178. A package comprising a pharmaceutical composition of claim 165 in a container and further comprising at least one of:

instructions for using the composition to treat a patient suffering from an anxiety disorder, or

instructions for using the composition to treat a patient suffering from depression, or

instructions for using the composition to treat a patient suffering from a sleeping disorder,

instructions for using the composition to treat a patient suffering from schizophrenia, or

instructions for using the composition to treat a patient suffering from attention deficit-hyperactivity disorder.

- 179. A package comprising a pharmaceutical composition of claim 165 in a container and further comprising indicia comprising at least one of: instructions for using the composition to treat a patient suffering from Alzheimer's dementia or instructions for using the composition to enhance memory in a patient.
- 180. The use of a compound or salt according to Claim 1 for the manufacture of a medicament.
- 181. The use of a compound or salt according to Claim 1 for the treatment of anxiety, depression, a sleep disorder, schizophrenia, or attention deficit-hyperactivity disorder.

-299-

183. A process for preparing a compound of Formula A

$$Z_{2}$$
 Z_{1}
 Z_{3}
 Z_{4}
 Z_{4}
 Z_{5}
 Z_{4}
 Z_{5}
 Z_{4}
 Z_{5}
 Z_{6}
 Z_{7}
 Z_{7}
 Z_{8}
 Z_{8}
 Z_{8}

Formula A

comprising reacting a compound of Formula B

Formula B

with a compound of Formula C

enhancement of memory.

$$Z_{2}$$

$$Z_{3}$$

$$Z_{4}$$

$$Z_{3}$$

$$Z_{4}$$

$$Z_{4}$$

$$Z_{5}$$

$$Z_{6}$$

$$Z_{7}$$

$$Z_{7}$$

$$Z_{8}$$

$$Z_{7}$$

$$Z_{8}$$

$$Z_{8}$$

$$Z_{8}$$

Formula C

wherein:

 Z_1 is nitrogen or CR_1 ;

Z₂ is nitrogen or CR₂;

Z₃ is nitrogen or CR₃;

Z₄ is nitrogen or CR₄;

provided that no more than two of $Z_1,\ Z_2,\ Z_3,$ and Z_3 are nitrogen;

 R_1 , R_2 , R_3 , and R_4 are independently selected from

20 i) hydrogen, halogen, hydroxy, nitro, cyano, amino haloalkyl, and haloalkoxy,

alkyl, alkoxy, cycloalkyl, alkenyl, alkynyl, ii) 🔪 $(\text{cycloalkyl}) \text{ alkyl}, -\text{NH}(R_{10}), -\text{N}(R_{10})(R_{11}), \text{ hydroxyalkyl},$ aminoalkyl, (R_{10}) NHalkyl, (R_{10}) (R_{11}) Nalkyl, alkanoyl, alkoxycarbonyl, (heterocycloalkyl)alkyl, alkylsulfonyl, alkylthio, mono- or dialkylaminocarbonyl, heterocycloalkyl, aryl, \and heteroaryl, each of which is optionally substituted with 1, 2, 3, or 4 of R_{20} ,

wherein R_{10} and R_{11} are independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, aryl, arylalkyl, alkanoyl, and mono and dialkylaminoalkyl; and

iii) a group of the formula:

where G is a bond, alkyl, 1-0-, -C(=0)-, or $-CH_2C(=0)-$, and R_A is a saturated, partially unsaturated, or aromatic carbocycle, consisting of 1 ring or 2 fused, pendant, or spiro rings, each ring containing 0, 1, or 2 heteroatoms independently chosen from N, S, and O, said saturated, partially unsaturated, or aromatic carbocycle is optionally substituted with 1, 2, 3, or 4 of R_{20} , and

iv) a group of the formula

where J is N, CH, or C-alkyl, and

 R_{B} and R_{C} are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, \(\)alkoxy, cycloalkyl, 25 (cycloalkyl)alkyl, heterocycloalkyl, aryl, arylalkyl, alkanoyl, heteroaryl, and mono and dialkylaminoalkyl, each of which is optionally substituted by 1 substituents independently chosen from hallogen, hydroxy, 30 cyano, amino, nitro, alkoxy, and alkyl;

Cont

b) one or more of oxo, O, S, SO, SO₂, or $N-R_D$ wherein R_D is hydrogen, Ar_1 , alkyl, cycloalkyl, heterocycloalkyl, or Ar_1 alkyl; wherein Ar_1 is aryl or heteroaryl, each of which is optionally substituted by 1 or 2 substituents independently chosen from halogen, hydroxy, cyano, amino, nitro, alkoxy, and alkyl, and/or

10

v)

 $- \text{OC} (=\text{O}) \, R_E, \quad - \text{C} (=\text{O}) \, \text{OR}_E, \quad - \text{C} (=\text{O}) \, \text{NH}_2, \quad - \text{C} (=\text{O}) \, \text{NH}_E, \quad - \text{C} (=\text{O}) \, \text{NR}_E R_F, \quad - \text{S} (\text{O}) \, _n \text{NH}_2, \quad - \text{S} (\text{O}) \, _n \text{NH}_E, \quad - \text{S} (\text{O}) \, _n \text{NR}_E R_F, \quad - \text{NHC} (=\text{O}) \, R_E, \quad - \text{C} (=\text{NR}_E) \, R_F, \quad - \text{HC} = \text{N} - \text{OH}, \quad - \text{HC} = \text{N} \, (\text{alkoxy}) \, , \quad - \text{HC} = \text{N} \, (\text{alkyl}) \, , \quad - \text{NR}_E \text{C} (=\text{O}) \, R_F, \quad - \text{NHS} \, (\text{O}) \, _n R_E, \quad \text{and} \quad - \text{NR}_E \text{S} \, (\text{O}) \, _n R_F, \quad \text{where m is 0, 1 or 2, and}$

c) one or more substituents R20;

 R_E and R_F are independently selected at each occurrence from alkyl, cycloalkyl, heterocycloalkyl, alkoxy, monoor dialkylamino, aryl, or heteroaryl each of which is optionally substituted by 1, 2, or 3 of R_{30} ;

- R₂₀ is independently selected at each occurrence from the group consisting of: halogen; hydroxy; nitro; cyano; amino; alkyl; alkoxy optionally substituted with amino or mono- or dialkylamino; cycloalkyl; cycloalkylalkyl; cycloalkylalkoxy; alkenyl; alkynyl; haloalkyl; oxo; haloalkoxy; mono- and dialkylamino; aminoalkyl; and mono- and dialkylaminoalkyl;
- R₃₀ is independently selected at each occurrence from halogen, hydroxy, nitro, cyano, amino, alkyl, alkoxy optionally substituted with amino or mono- or dialkylamino, cycloalkyl, cycloalkylalkyl, cycloalkylalkoxy, heterocycloalkyl, alkenyl, alkynyl, haloalkyl, haloalkoxy, oxo, mono- and dialkylamino, aminoalkyl, and mono- and dialkylaminoalkyl;

25

QL 50 CONT

10

25

30

 R_5 represents hydrogen or haloalkyl; or R represents alkyl, cycloalkyl, or (cycloalkyl)alkyl, each of which may contain one or more double or triple bonds, and each of which is optionally substituted with 1, 2, or 3 of R_{30} , or

R₅ represents aryl, arylalkyl, heteroaryl, or heteroarylalkyl each of which is optionally substituted with 1, 2, or 3 substituents selected from the group consisting of haloalkyl amino, -NH(R₁₀), -N(R₁₀)(R₁₁), carboxamido, (R₁₀)NHcarbonyl, (R₁₀)(R₁₁)Ncarbonyl, halogen, hydroxy, nitro, cyano, amino, alkyl, alkoxy optionally substituted with amino or mono- or dialkylamino, cycloalkyl, cycloalkylalkyl, cycloalkylalkoxy, heterocycloalkyl, alkenyl, alkynyl, haloalkyl, haloalkoxy, aminoalkyl, and mono- and dialkylaminoalkyl;

 R_6 and R_7 independently represent hydrogen, fluorine, or alkyl; R is independently chosen at each occurrence from hydrogen, halogen, amino, C_1 - C_6 alkyl, $(C_2$ - C_6) alkenyl, $(C_2$ - C_6) alkynyl, C_1 - C_6 alkoxy, $(C_3$ - C_8) cycloalkyl, $(C_3$ - C_8 cycloalkyl) $(C_1$ - C_4) alkyl, halo $(C_1$ - C_6) alkyl, haloalkoxy, carboxamido, and 3- to 7-membered carbocyclic or heterocyclic groups which are saturated, unsaturated, or aromatic, which may be further substituted with one or more substituents independently selected from halogen, oxo, hydroxy, C_{1-4} alkyl, and $-O(C_{1-4}$ alkyl); and

W represents aryl or heteroaryl, wherein the aryl or heteroaryl group is optionally substituted with up to 4 groups independently selected from R_{30} , $-CO_2H$, -C =0) OR_E , $-C \, (=O) \, NHR_E, \, -C \, (=O) \, NR_ER_F, \, -C \, (O) \, R_E, \, \text{and} \, -S \, (O)_m R_E, \, -OR_E, \, \text{where} \, R_{30}$ and R_E are as defined above and m is 0, 1, or 2.

184. A process according to Claim 183, wherein: Z_1 is CR_1 , Z_2 is CR_2 , Z_3 is CR_3 , and Z_4 is CR_4 ,

is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C_1-C_2) alkyl;

 R_1 , R_3 , and R_4 are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, halo (C_1-C_6) alkoxy, mono or di (C_1-C_6) alkylamino, amino (C_1-C_6) alkyl, and mono- and di (C_1-C_6) alkylamino (C_1-C_6) alkyl;

R₅ represents (C₁-C₆)alkyl;

10 R₆ and R₇ are hydrogen; and

W represents phenyl furanyl, thienyl, thiazolyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, isoxazolyl, pyrimidinyl, benzimidazolyl, quinolinyl, isoquinolinyl each of which is optionally substituted with up to 4 R₃₀ groups.

185. A process according to Claim 184, wherein W is 2-thiazolyl, 2-pyrimidinyl, 3-fluorophenyl, or 6-fluoro-2-pyridinyl.

186. A process according to Claim 184, wherein R, R_1 , and R_4 are hydrogen.

187. A process according to Claim 184, wherein R_5 is ethyl or n-propyl.

188. A process according to Claim 184 wherein R_2 is chosen from

- i) hydrogen, halogen, hydroxy, nitro, cyand, amino, halo(C_1 - C_6) alkyl, and halo(C_1 - C_6) alkoxy,
- 30 ii) C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_3 - C_8 cycloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $(C_3$ - C_8 cycloalkyl) C_1 - C_4 alkyl, -NH(R_{10}), -N(R_{10}) (R_{11}), (R_{10}) NH(C_1 - C_6) alkyl, (R_{10}) (R_{11}) N(C_1 - C_6) alkyl,

(heterocycloalkyl) C_1 - C_4 alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R_{20} .

cont

10

⊨

₫.

≢ ∵

H

∏ <u></u>≟20

!!

189. A process according to Claim 183 wherein

 Z_1 is CR_1 ;

one and only one of Z_2 or Z_3 is nitrogen;

Z₄ is CR₄; and

R2 or R3 is chosen from

- i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo (C_1-C_6) alkyl, and halo (C_1-C_6) alkoxy,
- ii) C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_3 - C_8 cycloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $(C_3$ - C_8 cycloalkyl) C_1 - C_4 alkyl, -NH(R_{10}), -N(R_{10})(R_{11}), (R_{10}) NH(C_1 - C_6)alkyl, (R_{10}) (R_{11})N(C_1 - C_6)alkyl, (heterocycloalkyl) C_1 - C_4 alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R_{20} ;
- R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C_1-C_2) alkyl;
- R_1 and R_4 are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C_1-C_6) alkyl, (C_1-C_6) alkoxy, (C_3-C_8) cycloalkyl, (C_3-C_8) cycloalkyl (C_1-C_6) alkyl, halo (C_1-C_6) alkyl, halo (C_1-C_6) alkoxy, mono or di (C_1-C_6) alkylamino, amino (C_1-C_6) alkyl, and mono- and di (C_1-C_6) alkylamino (C_1-C_6) alkyl;

R₅ represents (C₁-C₆)alkyl;

- 25 R_6 and R_7 are hydrogen;
- W represents a 5-membered heteroaryl group, the 5-membered heteroaryl group is optionally substituted with up to 4 groups independently selected from R_{30} , $-CO_2^2H$, $-C(=O)OR_E$, $-C(=O)NHR_E$, $-C(=O)NR_ER_F$, $-C(O)R_E$, and $-S(O)_mR_E$, $-OR_E$, where R_{30} and R_E are as defined above and m is 0, 1, or 2.
 - 190. A process according to Claim 189, wherein Z_3 is nitrogen.

191. A process according to Claim 189 wherein R₁ and R₄ are independently selected from hydrogen, halogen, trifluoromethyl, C₁-C₂ alkyl, and cyano; and

W is thiazolyl, thienyl, imidazolyl, oxazolyl, triazolyl, tetrazolyl, pyrazolyl, or isoxazolyl, each of which is optionally substituted by one or more substituents independently chosen from halogen, cyano, hydroxy, oxo, C₁-C₂haloalkyl, C₁-C₂alkyl, and C₁-C₂ alkoxy.

10

25

- 192. A process according to Claim 191, wherein W is 2-thiazolyl.
- 193. A compound or salt according to Claim 191, wherein R, R_1 and R_4 are hydrogen.
- 194. A compound or salt according to Claim 191, wherein R_5 is ethyl or n-propyl.
- 195. A process according to Claim 189, wherein \mathbf{Z}_2 is nitrogen.
- - 197. A process according to Claim 196, wherein W is 2-thiazolyl.

198. A compound or salt according to Claim 196, wherein R, and R_4 are hydrogen.

199. A compound or salt according to Claim 196, wherein R_5 is ethyl or n-propyl.

200. A process according to Claim 183 wherein

 Z_1 is CR_1 ;

25

one and only one of Z_2 or Z_3 is nitrogen; Z_4 is CR_4 ;

R₂ or R₃ is chosen from

- i) hydrogen, halogen, hydroxy, nitro, cyano, amino, halo(C_1 - C_6) alkyl, and halo (C_1-C_6) alkoxy,
- ii) C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_3 - C_8 cycloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $(C_3$ - C_8 cycloalkyl) C_1 - C_4 alkyl, -NH(R_{10}), -N(R_{10}) (R_{11}), (R_{10}) NH(C_1 - C_6) alkyl, (R_{10}) N(C_1 - C_6) alkyl, (heterocycloalkyl) C_1 - C_4 alkyl, and heterocycloalkyl, each of which is optionally substituted with 1, 2, 3, or 4 of R_{20} ;
- R is independently selected at each occurrence from the group consisting of hydrogen, halogen, and (C_1-C_2) alkyl;
- R₁, R₃, and R₄ are independently selected from hydrogen, halogen, hydroxy, nitro, cyano, amino, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl (C₁-C₆)alkyl, halo(C₁-C₆)alkyl, halo(C₁-C₆)alkoxy, mono or di(C₁-C₆)alkylamino, amino(C₁-C₆)alkyl, and mono- and di(C₁-C₆)alkylamino(C₁-C₆)alkyl;

R₅ represents (C₁-C₆)alkyl;

R₆ and R₇ are hydrogen; and

30 W represents a 6-membered aryl or heteroaryl group, wherein the 6-membered aryl or heteroaryl group is optionally substituted with up to 4 groups independently selected from R_{30} , $-CO_2H$, $-C(=0)OR_E$, $-C(=0)NHR_E$, $-C(=0)NR_ER_F$, $-C(Q)R_E$, and $-C(=0)NR_E$

201. A process according to Claim 200, wherein Z_3 is nitrogen.

- A process according to Claim 201 wherein R₁ and R₄ are independently selected from hydrogen, halogen, trifluoromethyl, C1-C2 alkyl, and cyano; and
- W is phenyl, pyrimidinyl, pyridyl, pyrazinyl, or pyridizinyl, 10 each of which is optionally substituted by one or more substituents in dependently chosen from halogen, cyano, hydroxy, oxo, C_1 - C_2 haloalkyl, C_1 - C_2 alkyl, and C_1 - C_2 alkoxy.
 - 203. A process according to Claim 202, wherein W is 2pyrimidinyl, 3-fluorophenyl or 6-fluoro-2-pyridinyl.
 - 204. A compound or salt according to Claim 202, wherein R, R_1 and R_4 are hydrogen.
 - 205. A compound or salt according to Claim 202, wherein Rs is ethyl or n-propyl.
 - 206. A process according to Claim 20 \degree , wherein Z_2 is 25 nitrogen.
 - A process according to Claim 206 wherein R₁ and R₄ are independently selected from hydrogen, halogen, trifluoromethyl, C₁-C₂ alkyl, and cyano; and
 - 30 W is phenyl, pyrimidinyl, pyridyl, pyrazinyl, or pyridizinyl, each of which is optionally substituted by one or more substituents independently chosen from halogen, dyano, hydroxy, oxo, C_1 - C_2 haloalkyl, C_1 - C_2 alkyl, and C_1 - C_2 alkoxy.

208. A process according to Claim 207, wherein W is 2-pyrimidizyl, 3-fluorophenyl, or 6-fluoro-2-pyridinyl.

209. A compound or salt according to Claim 207, wherein R, R_1 and R_4 are hydrogen.

210. A compound or salt according to Claim 207, wherein R_5 is ethyl or n-propyl.

-309-